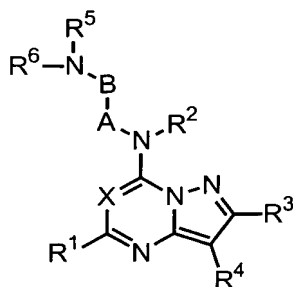


compound of the formula:



or a pharmaceutically acceptable salt, hydrate, or prodrug thereof, wherein:

X is N or CR¹⁴;

R¹ is selected from H, C₁-C₆ alkyl, C₃-C₁₀ cycloalkyl, (C₃-C₁₀ cycloalkyl) C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, cyano, halo, C₁-C₆ haloalkyl, OR⁷, C₁-C₆ alkyl-OR⁷; C₁-C₆ cyanoalkyl, NR⁸R⁹, C₁-C₆ alkyl-NR⁸R⁹;

R² is H,

C₁-C₆ alkyl which optionally forms a C₃-C₆ aminocarbocycle or a C₂-C₅ aminoheterocycle with A or B, each of which is optionally substituted with R⁷,

C₃-C₁₀ cycloalkyl, or

(C₃-C₁₀ cycloalkyl) C₁-C₆ alkyl; or

R² and R⁶ jointly with the 2 nitrogen atoms to which they are bound, form a C₂-C₅ aminoheterocycle optionally substituted with R⁷, or

R² and A jointly form a C₃-C₆ aminocarbocycle or a C₂-C₅ aminoe heterocycle optionally substituted at with R⁷;

A represents an alkyl chain of 1,2, or 3 carbon atoms which is optionally mono- or di-substituted at each carbon with substituents independently selected from C₁-C₆ alkyl, C₃-C₁₀ cycloalkyl, (C₃-C₁₀ cycloalkyl) C₁-C₆ alkyl, C₁-C₆ alkenyl, C₁-C₆ alkynyl, cyano, halo, C₁-C₆ haloalkyl, OR⁷, C₁-C₆ alkyl-OR⁷; C₁-C₆ cyanoalkyl, NR⁸R⁹, and C₁-C₆ alkyl-NR⁸R⁹, or

A and B jointly form a C₃-C₆ carbocycle, optionally substituted at each atom with R⁷;

B represents an alkyl chain of 1,2 or 3 carbons atoms, which is optionally mono- or di-substituted at each carbon with substituents independently selected from C₁-C₆ alkyl, C₃-C₁₀ cycloalkyl, (C₃-C₁₀ cycloalkyl) C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, cyano, halo, C₁-C₆ haloalkyl, OR⁷, C₁-C₆ alkyl-OR⁷, C₁-C₆ cyanoalkyl, NR⁸R⁹, and C₁-C₆ alkyl-NR⁸R⁹, or

B and R² jointly form a C₃-C₆ aminocarbocycle, which is optionally substituted at each atom with R⁷, or

B and R⁶ jointly form a C₃-C₆ aminocarbocycle, which is optionally substituted at each atom with R⁷;

131 R³ is selected from H, C₁-C₆ alkyl, C₃-C₁₀ cycloalkyl, (C₃-C₁₀ cycloalkyl) C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, cyano, halo, C₁-C₆ haloalkyl, OR⁷, C₁-C₆ alkyl-OR⁷, C₁-C₆ cyanoalkyl, NR⁸R⁹, C₁-C₆ alkyl-NR⁸R⁹;

R⁴ is selected from aryl or heteroaryl, each of which is substituted with 1 to 5 substituents independently selected from C₁-C₆ alkyl, C₃-C₁₀ cycloalkyl, C₃-C₁₀ cycloalkenyl, (C₃-C₁₀ cycloalkyl) C₁-C₆ alkyl, C₁-C₆ alkenyl, C₁-C₆ alkynyl, halogen, C₁-C₆ haloalkyl, trifluoromethylsulfonyl, OR⁷, C₁-C₆ alkyl-OR⁷, NR⁸R⁹, C₁-C₆ alkyl-NR⁸R⁹, CONR⁸R⁹, C₁-C₆ alkyl-CONR⁸R⁹, COOR⁷, C₁-C₆ alkyl-COOR⁷, CN, C₁-C₆ alkyl-CN, SO₂NR⁸R⁹, SO₂R⁷, aryl, heteroaryl, heterocycloalkyl, 3-, 4-, or 5-(2-oxo-1,3-oxazolidinyl), wherein at least one of the positions ortho or para to the point of attachment of the aryl or heteroaryl ring to the pyrazole is substituted;

R⁵ is selected from:

C₁-C₆ alkyl, (C₃-C₁₀ cycloalkyl) C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, each of which is substituted with 1 to 5 groups independently selected at each occurrence from halo, C₁-C₂ haloalkyl, oxo, OR⁷, cyano, NR⁸R⁹, CONR⁸R⁹, COOR⁷, SO₂NR⁸R⁹, SO₂R⁷, NR¹¹COR¹², NR¹¹SO₂R⁷;

Aryl(C₁-C₆)alkyl, heteroaryl(C₁-C₆)alkyl, aryl(C₅-C₈)cycloalkyl, or heteroaryl(C₅-C₈)cycloalkyl, each of which is optionally substituted with 1 to 5 substituents independently selected at each occurrence from C₁-C₆ alkyl, C₃-C₁₀ cycloalkyl, C₃-C₁₀ cycloalkenyl, (C₃-C₁₀

cycloalkyl) C₁-C₆ alkyl, C₁-C₆ alkenyl, halogen, C₁-C₆ haloalkyl, trifluoromethylsulfonyl, OR⁷, NR⁸R⁹, C₁-C₆ alkyl-OR⁷, C₁-C₆ alkyl-NR⁸R⁹, CONR⁸R⁹, COOR⁷, CN, SO₂NR⁸R⁹, SO₂R⁷, aryl, heteroaryl, heterocycloalkyl, 3-, 4-, or 5-(2-oxo-1,3-oxazolidinyl), wherein any 2 adjacent substituents may be taken together to form a C₃-C₁₀ cycloalkyl ring, a C₃-C₁₀ cycloalkenyl ring or a heterocycloalkyl ring;

131 C₃-C₁₀ cycloalkyl or C₂-C₉ heterocycloalkyl containing one, two, or three O, S, or N atoms, each of which is optionally substituted with 1 to 6 substituents independently selected from C₁-C₆ alkyl, C₃-C₁₀ cycloalkyl, C₃-C₁₀ cycloalkenyl, (C₃-C₁₀ cycloalkyl) C₁-C₆ alkyl, C₁-C₆ alkenyl, oxo, halogen, C₁-C₆ haloalkyl, OR⁷, NR⁸R⁹, (with the proviso that when two OR⁷ or NR⁸R⁹ substituents are geminally located on the same carbon R⁷ is not H and the geminally located OR⁷ or NR⁸R⁹ substituents can be taken together to form a C₂-C₄ ketal, oxazoline, oxazolidine, imidazoline, or imidazolidine heterocycle), C₁-C₆ alkyl-OR⁷, C₁-C₆ alkyl-NR⁸R⁹, CONR⁸R⁹, COOR⁷, CN, oxo, hydroximino, C₁-C₆ alkoximino, SO₂NR⁸R⁹, SO₂R⁷, heterocycloalkyl, aryl, heteroaryl, where aryl or heteroaryl is optionally substituted with 1 to 5 substituents independently selected at each occurrence from C₁-C₆ alkyl, C₃-C₁₀ cycloalkyl, C₃-C₁₀ cycloalkenyl, (C₃-C₁₀ cycloalkyl) C₁-C₆ alkyl, C₁-C₆ alkenyl, halogen, C₁-C₆ haloalkyl, trifluoromethylsulfonyl, OR⁷, NR⁸R⁹, C₁-C₆ alkyl-OR⁷, C₁-C₆ alkyl-NR⁸R⁹, CONR⁸R⁹, COOR⁷, CN, SO₂NR⁸R⁹, SO₂R⁷, aryl, heteroaryl, heterocycloalkyl, 3-, 4-, or 5-(2-oxo-1,3-oxazolidinyl), with the proviso that 2 adjacent substituents can optionally form together a C₃-C₁₀ cycloalkyl ring, a C₃-C₁₀ cycloalkenyl ring or a heterocycloalkyl ring;

aryl or heteroaryl, optionally substituted with 1 to 5 substituents independently selected at each occurrence from halogen, C₁-C₆ alkyl, C₃-C₁₀ cycloalkyl, C₃-C₁₀ cycloalkenyl, (C₃-C₁₀ cycloalkyl) C₁-C₆ alkyl, C₁-C₆ alkenyl, halogen, C₁-C₆ haloalkyl, trifluoromethylsulfonyl, OR⁷, NR⁸R⁹, C₁-C₆ alkyl-OR⁷, C₁-C₆ alkyl-NR⁸R⁹, CONR⁸R⁹, COOR⁷, CN, SO₂NR⁸R⁹, SO₂R⁷, aryl, heteroaryl, heterocycloalkyl, 3-, 4-, or 5-(2-oxo-1,3-oxazolidinyl), wherein any 2 adjacent substituents may be taken together to form a C₃-C₁₀ cycloalkyl ring, a C₃-C₁₀ cycloalkenyl ring or a heterocycloalkyl ring;

or

3- or 4-piperidinyl, 3-pyrrolidinyl, 3- or 4-tetrahydropyranyl, 3-tetrahydrofuranyl, 3- or 4-tetrahydropyranyl, 3-tetrahydrofuranyl, 3- or 4-tetrahydrothiopyranyl, 3- or 4-(1,1-dioxo)tetrahydrothiopyranyl, 1-azabicyclo[4.4.0]decyl, 8-azabicyclo[3.2.1]octanyl, norbornyl,

quinuclidinyl, indolin-2-one-3-yl, 2-(methoximino)-perhydroazepin-6-yl, each optionally substituted with 1 to 5 substituents independently selected at each occurrence from R^7 , C_1-C_6 alkyl-OR⁷, C_1-C_6 alkyl-NR⁸R⁹, CONR⁸R⁹, CN, COOR⁷, SO₂NR⁸R⁹, and SO₂R⁷;

R⁶ is selected from H, C_1-C_6 alkyl, C_3-C_{10} cycloalkyl, (C_3-C_{10} cycloalkyl) C_1-C_6 alkyl, C_2-C_4 alkenyl, aryl(C_1-C_6)alkyl, heteroaryl(C_1-C_6)alkyl each of which is optionally substituted with 1 to 5 substituents independently from halogen, C_1-C_6 haloalkyl, OR¹³, NR⁸R⁹, C_1-C_6 alkyl-OR¹³, C_1-C_6 alkyl-NR⁸R⁹, CONR⁸R⁹, COOR⁷, CN, SO₂NR⁸R⁹, and SO₂R⁷;

151
R⁷ is independently selected at each occurrence from H, C_1-C_6 alkyl, C_3-C_{10} cycloalkyl, C_3-C_{10} cycloalkenyl, (C_3-C_{10} cycloalkyl) C_1-C_6 alkyl, C_1-C_3 haloalkyl, or heterocycloalkyl, C_1-C_8 alkylsulfonyl, arylsulfonyl, heteroarylsulfonyl, C_1-C_8 alkanoyl, aroyl, heteroaroyl, aryl, heteroaryl, C_1-C_6 arylalkyl or C_1-C_6 heteroarylalkyl each optionally substituted with 1 to 5 substituents independently selected from halogen, C_1-C_6 haloalkyl, OR¹³, NR⁸R⁹, C_1-C_6 alkyl-OR¹³, C_1-C_6 alkyl-NR⁸R⁹, CONR⁸R⁹, COOR¹³, CN, SO₂NR⁸R⁹, and SO₂R¹³, with the proviso that when R⁷ is SO₂R¹³, R¹³ cannot be H

R⁸ and R⁹ are independently selected at each occurrence from H, C_1-C_6 alkyl, C_3-C_{10} cycloalkyl, C_2-C_6 alkenyl, C_3-C_{10} cycloalkenyl, C_2-C_6 alkynyl, heterocycloalkyl, C_1-C_8 alkanoyl, aroyl, heteroaroyl, aryl, heteroaryl, C_1-C_6 arylalkyl or C_1-C_6 heteroarylalkyl, or R⁸ and R⁹, taken together, can form a C_3-C_6 aminocarbocycle or a C_2-C_5 aminoheterocycle each of which is optionally substituted with C_1-C_6 alkyl, C_3-C_{10} cycloalkyl, C_3-C_{10} cycloalkenyl, (C_3-C_{10} cycloalkyl) C_1-C_6 alkyl, C_1-C_3 haloalkyl, or heterocycloalkyl, C_1-C_8 alkylsulfonyl, arylsulfonyl, heteroarylsulfonyl, C_1-C_8 alkanoyl, aroyl, heteroaroyl, aryl, heteroaryl, C_1-C_6 arylalkyl or C_1-C_6 heteroarylalkyl;

R¹¹ is selected from H, C_1-C_6 alkyl, C_3-C_{10} cycloalkyl, (C_3-C_{10} cycloalkyl) C_1-C_6 alkyl;

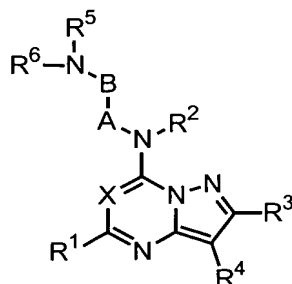
R¹² is selected from H, aryl, heteroaryl, C_1-C_6 alkyl, C_3-C_{10} cycloalkyl, (C_3-C_{10} cycloalkyl) C_1-C_6 alkyl, optionally substituted with OR⁷, NR⁸R⁹, C_3-C_6 aminocarbocycle, or C_2-C_5 aminoheterocycle;

R^{13} is independently selected at each occurrence from H, C_1 - C_6 alkyl, C_3 - C_{10} cycloalkyl, (C_3 - C_{10} cycloalkyl) C_1 - C_6 alkyl, C_2 - C_6 alkenyl, C_2 - C_6 alkynyl, C_1 - C_6 haloalkyl, with the proviso that when R^7 is SO_2R^{13} , R^{13} cannot be H; and

R^{14} is H, C_1 - C_6 alkyl, C_3 - C_{10} cycloalkyl, (C_3 - C_{10} cycloalkyl) C_1 - C_6 alkyl, C_2 - C_4 alkenyl, C_2 - C_4 alkynyl, halo, or CN

7b1 with cells expressing the NPY1 receptor, wherein the compound is present in the solution at a concentration sufficient to reduce levels of NPY binding to cells expressing the NPY1 receptor in vitro.

17. (Amended) A method for altering the signal-transducing activity of a cell surface NPY1 receptor, said method comprising contacting cells expressing such a receptor with a solution comprising a compound of the formula



or a pharmaceutically acceptable salt, hydrate, or prodrug thereof, wherein:

X is N or CR¹⁴;

R¹ is selected from H, C₁-C₆ alkyl, C₃-C₁₀ cycloalkyl, (C₃-C₁₀ cycloalkyl) C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, cyano, halo, C₁-C₆ haloalkyl, OR⁷, C₁-C₆ alkyl-OR⁷; C₁-C₆ cyanoalkyl, NR⁸R⁹, C₁-C₆ alkyl-NR⁸R⁹;

R² is H,

C₁-C₆ alkyl which optionally forms a C₃-C₆ aminocarbocycle or a C₂-C₅ aminoheterocycle with A or B, each of which is optionally substituted with R⁷,

C₃-C₁₀ cycloalkyl, or

(C₃-C₁₀ cycloalkyl) C₁-C₆ alkyl; or

R² and R⁶ jointly with the 2 nitrogen atoms to which they are bound, form a C₂-C₅ aminoheterocycle optionally substituted with R⁷, or

R² and A jointly form a C₃-C₆ aminocarbocycle or a C₂-C₅ aminoe heterocycle optionally substituted at with R⁷;

A represents an alkyl chain of 1,2, or 3 carbon atoms which is optionally mono- or di-substituted at each carbon with substituents independently selected from C₁-C₆ alkyl, C₃-C₁₀ cycloalkyl, (C₃-C₁₀ cycloalkyl) C₁-C₆ alkyl, C₁-C₆ alkenyl, C₁-C₆ alkynyl, cyano,

halo, C₁-C₆ haloalkyl, OR⁷, C₁-C₆ alkyl-OR⁷; C₁-C₆ cyanoalkyl, NR⁸R⁹, and C₁-C₆ alkyl-NR⁸R⁹, or

A and B jointly form a C₃-C₆ carbocycle, optionally substituted at each atom with R⁷;

B represents an alkyl chain of 1,2 or 3 carbons atoms, which is optionally mono- or di-substituted at each carbon with substituents independently selected from C₁-C₆ alkyl, C₃-C₁₀ cycloalkyl, (C₃-C₁₀ cycloalkyl) C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, cyano, halo, C₁-C₆ haloalkyl, OR⁷, C₁-C₆ alkyl-OR⁷; C₁-C₆ cyanoalkyl, NR⁸R⁹, and C₁-C₆ alkyl-NR⁸R⁹, or

B and R² jointly form a C₃-C₆ aminocarbocycle, which is optionally substituted at each atom with R⁷, or

B and R⁶ jointly form a C₃-C₆ aminocarbocycle, which is optionally substituted at each atom with R⁷;

R³ is selected from H, C₁-C₆ alkyl, C₃-C₁₀ cycloalkyl, (C₃-C₁₀ cycloalkyl) C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, cyano, halo, C₁-C₆ haloalkyl, OR⁷, C₁-C₆ alkyl-OR⁷, C₁-C₆ cyanoalkyl, NR⁸R⁹, C₁-C₆ alkyl-NR⁸R⁹;

R⁴ is selected from aryl or heteroaryl, each of which is substituted with 1 to 5 substituents independently selected from C₁-C₆ alkyl, C₃-C₁₀ cycloalkyl, C₃-C₁₀ cycloalkenyl, (C₃-C₁₀ cycloalkyl) C₁-C₆ alkyl, C₁-C₆ alkenyl, C₁-C₆ alkynyl, halogen, C₁-C₆ haloalkyl, trifluoromethylsulfonyl, OR⁷, C₁-C₆ alkyl-OR⁷, NR⁸R⁹, C₁-C₆ alkyl-NR⁸R⁹, CONR⁸R⁹, C₁-C₆ alkyl-CONR⁸R⁹, COOR⁷, C₁-C₆ alkyl-COOR⁷, CN, C₁-C₆ alkyl-CN, SO₂NR⁸R⁹, SO₂R⁷, aryl, heteroaryl, heterocycloalkyl, 3-, 4-, or 5-(2-oxo-1,3-oxazolidinyl), wherein at least one of the positions ortho or para to the point of attachment of the aryl or heteroaryl ring to the pyrazole is substituted;

R⁵ is selected from:

C₁-C₆ alkyl, (C₃-C₁₀ cycloalkyl) C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, each of which is substituted with 1 to 5 groups independently selected at each occurrence from halo, C₁-C₂ haloalkyl, oxo, OR⁷, cyano, NR⁸R⁹, CONR⁸R⁹, COOR⁷, SO₂NR⁸R⁹, SO₂R⁷, NR¹¹COR¹², NR¹¹SO₂R⁷;

Aryl(C₁-C₆)alkyl, heteroaryl(C₁-C₆)alkyl, aryl(C₃-C₈)cycloalkyl, or heteroaryl(C₃-C₈)cycloalkyl, each of which is optionally substituted with 1 to 5 substituents independently selected at each occurrence from C₁-C₆ alkyl, C₃-C₁₀ cycloalkyl, C₃-C₁₀ cycloalkenyl, (C₃-C₁₀ cycloalkyl) C₁-C₆ alkyl, C₁-C₆ alkenyl, halogen, C₁-C₆ haloalkyl, trifluoromethylsulfonyl, OR⁷, NR⁸R⁹, C₁-C₆ alkyl-OR⁷, C₁-C₆ alkyl-NR⁸R⁹, CONR⁸R⁹, COOR⁷, CN, SO₂NR⁸R⁹, SO₂R⁷, aryl, heteroaryl, heterocycloalkyl, 3-, 4-, or 5-(2-oxo-1,3-oxazolidinyl), wherein any 2 adjacent substituents may be taken together to form a C₃-C₁₀ cycloalkyl ring, a C₃-C₁₀ cycloalkenyl ring or a heterocycloalkyl ring;

151 C₃-C₁₀cycloalkyl or C₂-C₉ heterocycloalkyl containing one, two, or three O, S, or N atoms, each of which is optionally substituted with 1 to 6 substituents independently selected from C₁-C₆ alkyl, C₃-C₁₀ cycloalkyl, C₃-C₁₀ cycloalkenyl, (C₃-C₁₀ cycloalkyl) C₁-C₆ alkyl, C₁-C₆ alkenyl, oxo, halogen, C₁-C₆ haloalkyl, OR⁷, NR⁸R⁹, (with the proviso that when two OR⁷ or NR⁸R⁹ substituents are geminally located on the same carbon R⁷ is not H and the geminally located OR⁷ or NR⁸R⁹ substituents can be taken together to form a C₂-C₄ ketal, oxazoline, oxazolidine, imidazoline, or imidazolidine heterocycle), C₁-C₆ alkyl-OR⁷, C₁-C₆ alkyl-NR⁸R⁹, CONR⁸R⁹, COOR⁷, CN, oxo, hydroximino, C₁-C₆ alkoximino, SO₂NR⁸R⁹, SO₂R⁷, heterocycloalkyl, aryl, heteroaryl, where aryl or heteroaryl is optionally substituted with 1 to 5 substituents independently selected at each occurrence from C₁-C₆ alkyl, C₃-C₁₀ cycloalkyl, C₃-C₁₀ cycloalkenyl, (C₃-C₁₀ cycloalkyl) C₁-C₆ alkyl, C₁-C₆ alkenyl, halogen, C₁-C₆ haloalkyl, trifluoromethylsulfonyl, OR⁷, NR⁸R⁹, C₁-C₆ alkyl-OR⁷, C₁-C₆ alkyl-NR⁸R⁹, CONR⁸R⁹, COOR⁷, CN, SO₂NR⁸R⁹, SO₂R⁷, aryl, heteroaryl, heterocycloalkyl, 3-, 4-, or 5-(2-oxo-1,3-oxazolidinyl), with the proviso that 2 adjacent substituents can optionally form together a C₃-C₁₀ cycloalkyl ring, a C₃-C₁₀ cycloalkenyl ring or a heterocycloalkyl ring;

aryl or heteroaryl, optionally substituted with 1 to 5 substituents independently selected at each occurrence from halogen, C₁-C₆ alkyl, C₃-C₁₀ cycloalkyl, C₃-C₁₀ cycloalkenyl, (C₃-C₁₀ cycloalkyl) C₁-C₆ alkyl, C₁-C₆ alkenyl, halogen, C₁-C₆ haloalkyl, trifluoromethylsulfonyl, OR⁷, NR⁸R⁹, C₁-C₆ alkyl-OR⁷, C₁-C₆ alkyl-NR⁸R⁹, CONR⁸R⁹, COOR⁷, CN, SO₂NR⁸R⁹, SO₂R⁷, aryl, heteroaryl, heterocycloalkyl, 3-, 4-, or 5-(2-oxo-1,3-oxazolidinyl), wherein any 2 adjacent substituents may be taken together to form a C₃-C₁₀ cycloalkyl ring, a C₃-C₁₀ cycloalkenyl ring or a heterocycloalkyl ring;

or

3- or 4-piperidinyl, 3-pyrrolidinyl, 3- or 4- tetrahydropyranyl, 3-tetrahydrofuranyl, 3- or 4- tetrahydropyranyl, 3-tetrahydrofuranyl, 3- or 4-tetrahydrothiopyranyl, 3- or 4-(1,1-dioxo) tetrahydrothiopyranyl, 1-azabicyclo[4.4.0]decyl, 8-azabicyclo[3.2.1]octanyl, norbornyl, quinuclidinyl, indolin-2-one-3-yl, 2-(methoximino)-perhydroazepin-6-yl, each optionally substituted with 1 to 5 substituents independently selected at each occurrence from R⁷, C₁-C₆ alkyl-OR⁷, C₁-C₆ alkyl-NR⁸R⁹, CONR⁸R⁹, CN, COOR⁷, SO₂NR⁸R⁹, and SO₂R⁷;

R⁶ is selected from H, C₁-C₆ alkyl, C₃-C₁₀ cycloalkyl, (C₃-C₁₀ cycloalkyl) C₁-C₆ alkyl, C₂-C₄ alkenyl, aryl(C₁-C₆)alkyl, heteroaryl(C₁-C₆)alkyl each of which is optionally substituted with 1 to 5 substituents independently from halogen, C₁-C₆ haloalkyl, OR¹³, NR⁸R⁹, C₁-C₆ alkyl-OR¹³, C₁-C₆ alkyl-NR⁸R⁹, CONR⁸R⁹, COOR⁷, CN, SO₂NR⁸R⁹, and SO₂R⁷;

B1 R⁷ is independently selected at each occurrence from H, C₁-C₆ alkyl, C₃-C₁₀ cycloalkyl, C₃-C₁₀ cycloalkenyl, (C₃-C₁₀ cycloalkyl) C₁-C₆ alkyl, C₁-C₃ haloalkyl, or heterocycloalkyl, C₁-C₈ alkylsulfonyl, arylsulfonyl, heteroarylsulfonyl, C₁-C₈ alkanoyl, aroyl, heteroaroyl, aryl, heteroaryl, C₁-C₆ arylalkyl or C₁-C₆ heteroarylalkyl each optionally substituted with 1 to 5 substituents independently selected from halogen, C₁-C₆ haloalkyl, OR¹³, NR⁸R⁹, C₁-C₆ alkyl-OR¹³, C₁-C₆ alkyl-NR⁸R⁹, CONR⁸R⁹, COOR¹³, CN, SO₂NR⁸R⁹, and SO₂R¹³, with the proviso that when R⁷ is SO₂R¹³, R¹³ cannot be H

R⁸ and R⁹ are independently selected at each occurrence from H, C₁-C₆ alkyl, C₃-C₁₀ cycloalkyl, C₂-C₆ alkenyl, C₃-C₁₀ cycloalkenyl, C₂-C₆ alkynyl, heterocycloalkyl, C₁-C₈ alkanoyl, aroyl, heteroaroyl, aryl, heteroaryl, C₁-C₆ arylalkyl or C₁-C₆ heteroarylalkyl, or R⁸ and R⁹, taken together, can form a C₃-C₆ aminocarbocycle or a C₂-C₅ aminoheterocycle each of which is optionally substituted with C₁-C₆ alkyl, C₃-C₁₀ cycloalkyl, C₃-C₁₀ cycloalkenyl, (C₃-C₁₀ cycloalkyl) C₁-C₆ alkyl, C₁-C₃ haloalkyl, or heterocycloalkyl, C₁-C₈ alkylsulfonyl, arylsulfonyl, heteroarylsulfonyl, C₁-C₈ alkanoyl, aroyl, heteroaroyl, aryl, heteroaryl, C₁-C₆ arylalkyl or C₁-C₆ heteroarylalkyl;

R¹¹ is selected from H, C₁-C₆ alkyl, C₃-C₁₀ cycloalkyl, (C₃-C₁₀ cycloalkyl) C₁-C₆ alkyl;

R^{12} is selected from H, aryl, heteroaryl, C_1 - C_6 alkyl, C_3 - C_{10} cycloalkyl, (C_3 - C_{10} cycloalkyl) C_1 - C_6 alkyl, optionally substituted with OR^7 , NR^8R^9 , C_3 - C_6 aminocarbocycle, or C_2 - C_5 aminoheterocycle;

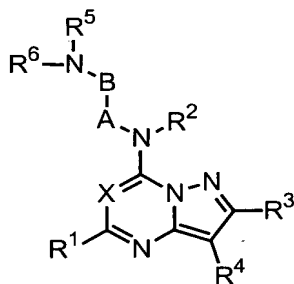
R^{13} is independently selected at each occurrence from H, C_1 - C_6 alkyl, C_3 - C_{10} cycloalkyl, (C_3 - C_{10} cycloalkyl) C_1 - C_6 alkyl, C_2 - C_6 alkenyl, C_2 - C_6 alkynyl, C_1 - C_6 haloalkyl, with the proviso that when R^7 is SO_2R^{13} , R^{13} cannot be H; and

R^{14} is H, C_1 - C_6 alkyl, C_3 - C_{10} cycloalkyl, (C_3 - C_{10} cycloalkyl) C_1 - C_6 alkyl, C_2 - C_4 alkenyl, C_2 - C_4 alkynyl, halo, or CN

wherein the compound is present in the solution at a concentration sufficient to reduce levels of NPY binding to cells expressing the NPY1 receptor in vitro.

52 (Amended)

A method of selectively inhibiting binding of NPY, receptors, which comprises contacting a compound of the formula:



or a pharmaceutically acceptable salt, hydrate, or prodrug thereof, wherein:

X is N or CR^{14} ;

R^1 is selected from H, C_1 - C_6 alkyl, C_3 - C_{10} cycloalkyl, (C_3 - C_{10} cycloalkyl) C_1 - C_6 alkyl, C_2 - C_6 alkenyl, C_2 - C_6 alkynyl, cyano, halo, C_1 - C_6 haloalkyl, OR^7 , C_1 - C_6 alkyl- OR^7 ; C_1 - C_6 cyanoalkyl, NR^8R^9 , C_1 - C_6 alkyl- NR^8R^9 ;

R^2 is H,

C_1 - C_6 alkyl which optionally forms a C_3 - C_6 aminocarbocycle or a C_2 - C_5 aminoheterocycle with A or B, each of which is optionally substituted with R^7 ,

C_3 - C_{10} cycloalkyl, or

(C_3 - C_{10} cycloalkyl) C_1 - C_6 alkyl; or

R^2 and R^6 jointly with the 2 nitrogen atoms to which they are bound, form a C_2 - C_5 aminoheterocycle optionally substituted with R^7 , or

R^2 and A jointly form a C_3 - C_6 aminocarbocycle or a C_2 - C_5 aminoe heterocycle optionally substituted at with R^7 ;

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A represents an alkyl chain of 1,2, or 3 carbon atoms which is optionally mono- or di-substituted at each carbon with substituents independently selected from C_1 - C_6 alkyl, C_3 - C_{10} cycloalkyl, (C_3 - C_{10} cycloalkyl) C_1 - C_6 alkyl, C_1 - C_6 alkenyl, C_1 - C_6 alkynyl, cyano, halo, C_1 - C_6 haloalkyl, OR^7 , C_1 - C_6 alkyl- OR^7 ; C_1 - C_6 cyanoalkyl, NR^8R^9 , and C_1 - C_6 alkyl- NR^8R^9 , or

A and B jointly form a C_3 - C_6 carbocycle, optionally substituted at each atom with R^7 ;

B represents an alkyl chain of 1,2 or 3 carbons atoms, which is optionally mono- or di-substituted at each carbon with substituents independently selected from C_1 - C_6 alkyl, C_3 - C_{10} cycloalkyl, (C_3 - C_{10} cycloalkyl) C_1 - C_6 alkyl, C_2 - C_6 alkenyl, C_2 - C_6 alkynyl, cyano, halo, C_1 - C_6 haloalkyl, OR^7 , C_1 - C_6 alkyl- OR^7 ; C_1 - C_6 cyanoalkyl, NR^8R^9 , and C_1 - C_6 alkyl- NR^8R^9 , or

B and R^2 jointly form a C_3 - C_6 aminocarbocycle, which is optionally substituted at each atom with R^7 , or

B and R^6 jointly form a C_3 - C_6 aminocarbocycle, which is optionally substituted at each atom with R^7 ;

R^3 is selected from H, C_1 - C_6 alkyl, C_3 - C_{10} cycloalkyl, (C_3 - C_{10} cycloalkyl) C_1 - C_6 alkyl, C_2 - C_6 alkenyl, C_2 - C_6 alkynyl, cyano, halo, C_1 - C_6 haloalkyl, OR^7 , C_1 - C_6 alkyl- OR^7 , C_1 - C_6 cyanoalkyl, NR^8R^9 , C_1 - C_6 alkyl- NR^8R^9 ;

R^4 is selected from aryl or heteroaryl, each of which is substituted with 1 to 5 substituents

independently selected from C₁-C₆ alkyl, C₃-C₁₀ cycloalkyl, C₃-C₁₀ cycloalkenyl, (C₃-C₁₀ cycloalkyl) C₁-C₆ alkyl, C₁-C₆ alkenyl, C₁-C₆ alkynyl, halogen, C₁-C₆ haloalkyl, trifluoromethylsulfonyl, OR⁷, C₁-C₆ alkyl-OR⁷, NR⁸R⁹, C₁-C₆ alkyl-NR⁸R⁹, CONR⁸R⁹, C₁-C₆ alkyl-CONR⁸R⁹, COOR⁷, C₁-C₆ alkyl-COOR⁷, CN, C₁-C₆ alkyl-CN, SO₂NR⁸R⁹, SO₂R⁷, aryl, heteroaryl, heterocycloalkyl, 3-, 4-, or 5-(2-oxo-1,3-oxazolidinyl), wherein at least one of the positions ortho or para to the point of attachment of the aryl or heteroaryl ring to the pyrazole is substituted;

R⁵ is selected from:

C₁-C₆ alkyl, (C₃-C₁₀ cycloalkyl) C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, each of which is substituted with 1 to 5 groups independently selected at each occurrence from halo, C₁-C₂ haloalkyl, oxo, OR⁷, cyano, NR⁸R⁹, CONR⁸R⁹, COOR⁷, SO₂NR⁸R⁹, SO₂R⁷, NR¹¹COR¹², NR¹¹SO₂R⁷;

B² Aryl(C₁-C₆)alkyl, heteroaryl(C₁-C₆)alkyl, aryl(C₅-C₈)cycloalkyl, or heteroaryl(C₅-C₈)cycloalkyl, each of which is optionally substituted with 1 to 5 substituents independently selected at each occurrence from C₁-C₆ alkyl, C₃-C₁₀ cycloalkyl, C₃-C₁₀ cycloalkenyl, (C₃-C₁₀ cycloalkyl) C₁-C₆ alkyl, C₁-C₆ alkenyl, halogen, C₁-C₆ haloalkyl, trifluoromethylsulfonyl, OR⁷, NR⁸R⁹, C₁-C₆ alkyl-OR⁷, C₁-C₆ alkyl-NR⁸R⁹, CONR⁸R⁹, COOR⁷, CN, SO₂NR⁸R⁹, SO₂R⁷, aryl, heteroaryl, heterocycloalkyl, 3-, 4-, or 5-(2-oxo-1,3-oxazolidinyl), wherein any 2 adjacent substituents may be taken together to form a C₃-C₁₀ cycloalkyl ring, a C₃-C₁₀ cycloalkenyl ring or a heterocycloalkyl ring;

C₃-C₁₀ cycloalkyl or C₂-C₉ heterocycloalkyl containing one, two, or three O, S, or N atoms, each of which is optionally substituted with 1 to 6 substituents independently selected from C₁-C₆ alkyl, C₃-C₁₀ cycloalkyl, C₃-C₁₀ cycloalkenyl, (C₃-C₁₀ cycloalkyl) C₁-C₆ alkyl, C₁-C₆ alkenyl, oxo, halogen, C₁-C₆ haloalkyl, OR⁷, NR⁸R⁹, (with the proviso that when two OR⁷ or NR⁸R⁹ substituents are geminally located on the same carbon R⁷ is not H and the geminally located OR⁷ or NR⁸R⁹ substituents can be taken together to form a C₂-C₄ ketal, oxazoline, oxazolidine, imidazoline, or imidazolidine heterocycle), C₁-C₆ alkyl-OR⁷, C₁-C₆ alkyl-NR⁸R⁹, CONR⁸R⁹, COOR⁷, CN, oxo, hydroximino, C₁-C₆ alkoximino, SO₂NR⁸R⁹, SO₂R⁷, heterocycloalkyl, aryl, heteroaryl, where aryl or heteroaryl is optionally substituted with 1 to 5 substituents independently selected at each occurrence from C₁-C₆ alkyl, C₃-C₁₀ cycloalkyl, C₃-C₁₀ cycloalkenyl, (C₃-C₁₀ cycloalkyl) C₁-C₆

alkyl, C₁-C₆ alkenyl, halogen, C₁-C₆ haloalkyl, trifluoromethylsulfonyl, OR⁷, NR⁸R⁹, C₁-C₆ alkyl-OR⁷, C₁-C₆ alkyl-NR⁸R⁹, CONR⁸R⁹, COOR⁷, CN, SO₂NR⁸R⁹, SO₂R⁷, aryl, heteroaryl, heterocycloalkyl, 3-, 4-, or 5-(2-oxo-1,3-oxazolidinyl), with the proviso that 2 adjacent substituents can optionally form together a C₃-C₁₀ cycloalkyl ring, a C₃-C₁₀ cycloalkenyl ring or a heterocycloalkyl ring;

aryl or heteroaryl, optionally substituted with 1 to 5 substituents independently selected at each occurrence from halogen, C₁-C₆ alkyl, C₃-C₁₀ cycloalkyl, C₃-C₁₀ cycloalkenyl, (C₃-C₁₀ cycloalkyl) C₁-C₆ alkyl, C₁-C₆ alkenyl, halogen, C₁-C₆ haloalkyl, trifluoromethylsulfonyl, OR⁷, NR⁸R⁹, C₁-C₆ alkyl-OR⁷, C₁-C₆ alkyl-NR⁸R⁹, CONR⁸R⁹, COOR⁷, CN, SO₂NR⁸R⁹, SO₂R⁷, aryl, heteroaryl, heterocycloalkyl, 3-, 4-, or 5-(2-oxo-1,3-oxazolidinyl), wherein any 2 adjacent substituents may be taken together to form a C₃-C₁₀ cycloalkyl ring, a C₃-C₁₀ cycloalkenyl ring or a heterocycloalkyl ring;

or

13² 3- or 4-piperidinyl, 3-pyrrolidinyl, 3- or 4-tetrahydropyranyl, 3-tetrahydrofuranyl, 3- or 4-tetrahydropyranyl, 3-tetrahydrofuranyl, 3- or 4-tetrahydrothiopyranyl, 3- or 4-(1,1-dioxo)tetrahydrothiopyranyl, 1-azabicyclo[4.4.0]decyl, 8-azabicyclo[3.2.1]octanyl, norbornyl, quinuclidinyl, indolin-2-one-3-yl, 2-(methoximino)-perhydroazepin-6-yl, each optionally substituted with 1 to 5 substituents independently selected at each occurrence from R⁷, C₁-C₆ alkyl-OR⁷, C₁-C₆ alkyl-NR⁸R⁹, CONR⁸R⁹, CN, COOR⁷, SO₂NR⁸R⁹, and SO₂R⁷;

R⁶ is selected from H, C₁-C₆ alkyl, C₃-C₁₀ cycloalkyl, (C₃-C₁₀ cycloalkyl) C₁-C₆ alkyl, C₂-C₄ alkenyl, aryl(C₁-C₆)alkyl, heteroaryl(C₁-C₆)alkyl each of which is optionally substituted with 1 to 5 substituents independently from halogen, C₁-C₆ haloalkyl, OR¹³, NR⁸R⁹, C₁-C₆ alkyl-OR¹³, C₁-C₆ alkyl-NR⁸R⁹, CONR⁸R⁹, COOR⁷, CN, SO₂NR⁸R⁹, and SO₂R⁷;

R⁷ is independently selected at each occurrence from H, C₁-C₆ alkyl, C₃-C₁₀ cycloalkyl, C₃-C₁₀ cycloalkenyl, (C₃-C₁₀ cycloalkyl) C₁-C₆ alkyl, C₁-C₃ haloalkyl, or heterocycloalkyl, C₁-C₈ alkylsulfonyl, arylsulfonyl, heteroarylsulfonyl, C₁-C₈ alkanoyl, aroyl, heteroaroyl, aryl, heteroaryl, C₁-C₆ arylalkyl or C₁-C₆ heteroarylalkyl each optionally substituted with 1 to 5 substituents independently selected from halogen, C₁-C₆ haloalkyl, OR¹³, NR⁸R⁹, C₁-C₆ alkyl-OR¹³, C₁-C₆ alkyl-NR⁸R⁹, CONR⁸R⁹, COOR¹³, CN, SO₂NR⁸R⁹, and SO₂R¹³, with the proviso that when R⁷ is SO₂R¹³, R¹³ cannot be H

R⁸ and R⁹ are independently selected at each occurrence from H, C₁-C₆ alkyl, C₃-C₁₀ cycloalkyl, C₂-C₆ alkenyl, C₃-C₁₀ cycloalkenyl, C₂-C₆ alkynyl, heterocycloalkyl, C₁-C₈ alkanoyl, aroyl, heteroaroyl, aryl, heteroaryl, C₁-C₆ arylalkyl or C₁-C₆ heteroarylalkyl, or R⁸ and R⁹, taken together, can form a C₃-C₆ aminocarbocycle or a C₂-C₅ aminoheterocycle each of which is optionally substituted with C₁-C₆ alkyl, C₃-C₁₀ cycloalkyl, C₃-C₁₀ cycloalkenyl, (C₃-C₁₀ cycloalkyl) C₁-C₆ alkyl, C₁-C₃ haloalkyl, or heterocycloalkyl, C₁-C₈ alkylsulfonyl, arylsulfonyl, heteroarylsulfonyl, C₁-C₈ alkanoyl, aroyl, heteroaroyl, aryl, heteroaryl, C₁-C₆ arylalkyl or C₁-C₆ heteroarylalkyl;

R¹¹ is selected from H, C₁-C₆ alkyl, C₃-C₁₀ cycloalkyl, (C₃-C₁₀ cycloalkyl) C₁-C₆ alkyl;

B² R¹² is selected from H, aryl, heteroaryl, C₁-C₆ alkyl, C₃-C₁₀ cycloalkyl, (C₃-C₁₀ cycloalkyl) C₁-C₆ alkyl, optionally substituted with OR⁷, NR⁸R⁹, C₃-C₆ aminocarbocycle, or C₂-C₅ aminoheterocycle;

R¹³ is independently selected at each occurrence from H, C₁-C₆ alkyl, C₃-C₁₀ cycloalkyl, (C₃-C₁₀ cycloalkyl) C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₁-C₆ haloalkyl, with the proviso that when R⁷ is SO₂R¹³, R¹³ cannot be H; and

R¹⁴ is H, C₁-C₆ alkyl, C₃-C₁₀ cycloalkyl, (C₃-C₁₀ cycloalkyl) C₁-C₆ alkyl, C₂-C₄ alkenyl, C₂-C₄ alkynyl, halo, or CN

with neuronal cells, wherein the compound is present in an amount effective to produce a concentration sufficient to selectively inhibit binding of NPY peptides to NPY₁ receptors in vitro.

Please add new claims 53 - 79 as follows

53 A method as claimed in claim 16 wherein said compound is one wherein

B³ R⁵ is phenyl, naphthyl, 2-,3-, or 4-pyridyl, 2-, 4- or 5-pyrimidinyl, triazinyl, 1-, 2- or 4-imidazolyl, 2-, 4-, or 5-oxazolyl, isoxazolyl, indolyl, pyrazolyl, quinolyl, isoquinolyl, 2-, 4-, or 5-thiazolyl, benzothiadiazolyl, 1-, 3- or 4-pyrazolyl, 1-, 3- or 4-triazolyl, 2-

triazinyl, 2-pyrazinyl, 2-, or 3-furanyl, 2-, or 3-thienyl, 2-, or 3-benzothienyl, or 1-, 2- or 5-tetrazolyl each of which is optionally substituted with 1 to 5 substituents independently selected from C₁-C₆ alkyl, C₃-C₁₀ cycloalkyl, C₃-C₁₀ cycloalkenyl, (C₃-C₁₀ cycloalkyl) C₁-C₆ alkyl, C₁-C₆ alkenyl, halogen, C₁-C₆ haloalkyl, trifluoromethylsulfonyl, OR⁷, NR⁸R⁹, C₁-C₆ alkyl-OR⁷, C₁-C₆ alkyl-NR⁸R⁹, CONR⁸R⁹, COOR⁷, CN, SO₂NR⁸R⁹, SO₂R⁷, aryl, heteroaryl, heterocycloalkyl, 3-, 4-, or 5-(2-oxo-1,3-oxazolidinyl), wherein 2 adjacent substituents may be taken together to form a cycloalkyl ring, a C₃-C₁₀ cycloalkenyl ring or a heterocycloalkyl ring.

54. A method according to claim 16 wherein

X is N R¹ is H, C₁-C₆ alkyl, C₃-C₁₀ cycloalkyl, or (C₃-C₁₀ cycloalkyl) C₁-C₆ alkyl; and R⁶ is H, C₁-C₆ alkyl, C₃-C₁₀ cycloalkyl, or (C₃-C₁₀ cycloalkyl) C₁-C₆ alkyl.

55. A method according to Claim 16, wherein

X is N;

R¹ is C₁-C₆ alkyl;

R² is H or C₁-C₆ alkyl;

R³ is C₁-C₆ alkyl, trifluoromethyl, or C₁-C₆alkyl-O C₁-C₆alkyl; and

R⁶ is H, C₁-C₆ alkyl, C₃-C₁₀ cycloalkyl, or (C₃-C₁₀ cycloalkyl) C₁-C₆ alkyl.

56. A method according to Claim 16, wherein;

X is N;

R¹ is C₁-C₆ alkyl;

R² is H or C₁-C₆ alkyl;

R³ is C₁-C₆ alkyl, trifluoromethyl, or C₁-C₆alkyl-O C₁-C₆alkyl;

R⁴ is phenyl, mono, di, or trisubstituted with C₁-C₆ alkyl, C₃-C₁₀ cycloalkyl, C₃-C₁₀ cycloalkenyl, (C₃-C₁₀ cycloalkyl) C₁-C₆ alkyl, C₁-C₆ alkenyl, halogen, C₁-C₆ haloalkyl, trifluoromethylsulfonyl, OR⁷, C₁-C₆ alkyl-OR⁷, NR⁸R⁹, C₁-C₆ alkyl-NR⁸R⁹, CONR⁸R⁹, C₁-C₆ alkyl-CONR⁸R⁹, COOR⁷, C₁-C₆ alkyl-COOR⁷, CN, C₁-C₆ alkyl-CN, SO₂NR⁸R⁹, SO₂R⁷, aryl, heteroaryl, heterocycloalkyl, 3-, 4-, or 5-(2-oxo-1,3-oxazolidinyl), wherein at least one of the positions ortho or para to the point of attachment of the aryl or heteroaryl ring to the pyrazole is substituted,

R⁶ is H, C₁-C₆ alkyl, C₃-C₁₀ cycloalkyl, or (C₃-C₁₀ cycloalkyl) C₁-C₆ alkyl;
and R⁷, R⁸, and R⁹ are as defined in Claim 16.

57. A method according to Claim 16, wherein:

X is N;

R¹ is C₁-C₆ alkyl;

R² is H or C₁-C₆ alkyl;

R³ is C₁-C₆ alkyl, trifluoromethyl, or C₁-C₆alkyl-O C₁-C₆alkyl;

R⁴ is phenyl, mono, di, or trisubstituted with C₁-C₆ alkyl, C₃-C₁₀ cycloalkyl, C₃-C₁₀ cycloalkenyl, (C₃-C₁₀ cycloalkyl) C₁-C₆ alkyl, C₁-C₆ alkenyl, halogen, C₁-C₆ haloalkyl, trifluoromethylsulfonyl, OR⁷, C₁-C₆ alkyl-OR⁷, NR⁸R⁹, C₁-C₆ alkyl-NR⁸R⁹, CONR⁸R⁹, C₁-C₆ alkyl-CONR⁸R⁹, COOR⁷, C₁-C₆ alkyl-COOR⁷, CN, C₁-C₆ alkyl-CN, SO₂NR⁸R⁹, SO₂R⁷, aryl, heteroaryl, heterocycloalkyl, 3-, 4-, or 5-(2-oxo-1,3-oxazolidinyl), wherein at least one of the positions ortho or para to the point of attachment of the aryl or heteroaryl ring to the pyrazole is substituted,

R⁵ is

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C₁-C₆ alkyl, C₃-C₁₀cycloalkyl, (C₃-C₁₀ cycloalkyl) C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, each of which is substituted with 1 to 5 groups independently selected at each occurrence from halo, C₁-C₂ haloalkyl, OR⁷, cyano, NR⁸R⁹, CONR⁸R⁹, COOR⁷, SO₂NR⁸R⁹, SO₂R⁷, NR¹¹COR¹², NR¹¹SO₂R⁷; or

3- or 4-piperidinyl, 3-pyrrolidinyl, 3- or 4- tetrahydropyranyl, 3-tetrahydrofuranyl, 3- or 4- tetrahydropyranyl, 3-tetrahydrofuranyl, 3- or 4-tetrahydrothiopyranyl, 3- or 4-(1,1-dioxo) tetrahydrothiopyranyl, 1-azabicyclo[4.4.0]decyl, 8-azabicyclo[3.2.1]octanyl, norbornyl, quinuclidinyl, indolin-2-one-3-yl, 2-(methoximino)-perhydroazepin-6-yl, each optionally substituted with 1 to 5 substituents independently selected at each occurrence from R⁷, C₁-C₆ alkyl-OR⁷, C₁-C₆ alkyl-NR⁸R⁹, CONR⁸R⁹, CN, COOR⁷, SO₂NR⁸R⁹, and SO₂R⁷;

R⁶ is H, C₁-C₆ alkyl, C₃-C₁₀ cycloalkyl, or (C₃-C₁₀ cycloalkyl) C₁-C₆ alkyl; and

R⁷, R⁸, R⁹, R¹¹, and R¹² are as defined in Claim 1.

58. A method according to Claim 16, wherein;

X is CH,

R¹ is H, C₁-C₆ alkyl, C₃-C₁₀ cycloalkyl, or (C₃-C₁₀ cycloalkyl) C₁-C₆ alkyl; and

R⁶ is H, C₁-C₆ alkyl, C₃-C₁₀ cycloalkyl, or (C₃-C₁₀ cycloalkyl) C₁-C₆ alkyl.

59. A method according to Claim 16, wherein:

X is CH;

R¹ is C₁-C₆ alkyl;

R² is H or C₁-C₆ alkyl;

R³ is C₁-C₆ alkyl, trifluoromethyl, or C₁-C₆alkyl-O C₁-C₆alkyl; and

R⁶ is H, C₁-C₆ alkyl, C₃-C₁₀ cycloalkyl, or (C₃-C₁₀ cycloalkyl) C₁-C₆ alkyl.

60. A compound according to Claim 16, wherein;

X is CH;

R¹ is C₁-C₆ alkyl;

R² is H or C₁-C₆ alkyl;

R³ is C₁-C₆ alkyl, trifluoromethyl, or C₁-C₆alkyl-O C₁-C₆alkyl;

R⁴ is phenyl, mono, di, or trisubstituted with C₁-C₆ alkyl, C₃-C₁₀ cycloalkyl, C₃-C₁₀ cycloalkenyl, (C₃-C₁₀ cycloalkyl) C₁-C₆ alkyl, C₁-C₆ alkenyl, halogen, C₁-C₆ haloalkyl, trifluoromethylsulfonyl, OR⁷, C₁-C₆ alkyl-OR⁷, NR⁸R⁹, C₁-C₆ alkyl-NR⁸R⁹, CONR⁸R⁹, C₁-C₆ alkyl-CONR⁸R⁹, COOR⁷, C₁-C₆ alkyl-COOR⁷, CN, C₁-C₆ alkyl-CN, SO₂NR⁸R⁹, SO₂R⁷, aryl, heteroaryl, heterocycloalkyl, 3-, 4-, or 5-(2-oxo-1,3-oxazolidinyl), wherein at least one of the positions ortho or para to the point of attachment of the aryl or heteroaryl ring to the pyrazole is substituted,

R⁶ is H, C₁-C₆ alkyl, C₃-C₁₀ cycloalkyl, or (C₃-C₁₀ cycloalkyl) C₁-C₆ alkyl; and

R⁷, R⁸, and R⁹ are as defined in Claim 16.

61. A compound according to Claim 16, wherein:

X is CH;

R¹ is C₁-C₆ alkyl;

R² is H or C₁-C₆ alkyl;

R³ is C₁-C₆ alkyl, trifluoromethyl, or C₁-C₆alkyl-O C₁-C₆alkyl;

R⁴ is phenyl, mono, di, or trisubstituted with C₁-C₆ alkyl, C₃-C₁₀ cycloalkyl, C₃-C₁₀ cycloalkenyl, (C₃-C₁₀ cycloalkyl) C₁-C₆ alkyl, C₁-C₆ alkenyl, halogen, C₁-C₆ haloalkyl, trifluoromethylsulfonyl,

OR⁷, C₁-C₆ alkyl-OR⁷, NR⁸R⁹, C₁-C₆ alkyl-NR⁸R⁹, CONR⁸R⁹, C₁-C₆ alkyl-CONR⁸R⁹, COOR⁷, C₁-C₆ alkyl-COOR⁷, CN, C₁-C₆ alkyl-CN, SO₂NR⁸R⁹, SO₂R⁷, aryl, heteroaryl, heterocycloalkyl, 3-, 4-, or 5-(2-oxo-1,3-oxazolidinyl), wherein at least one of the positions ortho or para to the point of attachment of the aryl or heteroaryl ring to the pyrazole is substituted,

R⁵ is

C₁-C₆ alkyl, C₃-C₁₀cycloalkyl, (C₃-C₁₀ cycloalkyl) C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, each of which is substituted with 1 to 5 groups independently selected at each occurrence from halo, C₁-C₂ haloalkyl, OR⁷, cyano, NR⁸R⁹, CONR⁸R⁹, COOR⁷, SO₂NR⁸R⁹, SO₂R⁷, NR¹¹COR¹², NR¹¹SO₂R⁷; or

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3- or 4-piperidinyl, 3-pyrrolidinyl, 3- or 4- tetrahydropyranyl, 3-tetrahydrofuranyl, 3- or 4- tetrahydropyranyl, 3-tetrahydrofuranyl, 3- or 4-tetrahydrothiopyranyl, 3- or 4-(1,1-dioxo) tetrahydrothiopyranyl, 1-azabicyclo[4.4.0]decyl, 8-azabicyclo[3.2.1]octanyl, norbornyl, quinuclidinyl, indolin-2-one-3-yl, 2-(methoximino)-perhydroazepin-6-yl, each optionally substituted with 1 to 5 substituents independently selected at each occurrence from R⁷, C₁-C₆ alkyl-OR⁷, C₁-C₆ alkyl-NR⁸R⁹, CONR⁸R⁹, CN, COOR⁷, SO₂NR⁸R⁹, and SO₂R⁷;

R⁶ is H, C₁-C₆ alkyl, C₃-C₁₀ cycloalkyl, or (C₃-C₁₀ cycloalkyl) C₁-C₆ alkyl; and

R⁷, R⁸, R⁹, R¹¹, and R¹² are as defined in Claim 16.

62 A method according to claim 17 wherein, wherein

R⁵ is phenyl, naphthyl, 2-,3-, or 4-pyridyl, 2-, 4- or 5-pyrimidinyl, triazinyl, 1-, 2- or 4-imidazolyl, 2-, 4-, or 5-oxazolyl, isoxazolyl, indolyl, pyrazolyl, quinolyl, isoquinolyl, 2-, 4-, or 5-thiazolyl, benzothiadiazolyl, 1-, 3- or 4-pyrazolyl, 1-, 3- or 4-triazolyl, 2-triazinyl, 2-pyrazinyl, 2-, or 3-furanyl, 2-, or 3-thienyl, 2-, or 3-benzothienyl, or 1-, 2- or 5-tetrazolyl each of which is optionally substituted with 1 to 5 substituents independently selected from C₁-C₆ alkyl, C₃-C₁₀ cycloalkyl, C₃-C₁₀ cycloalkenyl, (C₃-C₁₀ cycloalkyl) C₁-C₆ alkyl, C₁-C₆ alkenyl, halogen, C₁-C₆ haloalkyl, trifluoromethylsulfonyl, OR⁷, NR⁸R⁹, C₁-C₆ alkyl-OR⁷, C₁-C₆ alkyl-NR⁸R⁹, CONR⁸R⁹, COOR⁷, CN, SO₂NR⁸R⁹, SO₂R⁷, aryl, heteroaryl, heterocycloalkyl, 3-, 4-, or 5-(2-oxo-1,3-oxazolidinyl), wherein 2 adjacent substituents may be taken together to form a cycloalkyl ring, a C₃-C₁₀

cycloalkenyl ring or a heterocycloalkyl ring.

63. A method according to claim 17 wherein

X is N R¹ is H, C₁-C₆ alkyl, C₃-C₁₀ cycloalkyl, or (C₃-C₁₀ cycloalkyl) C₁-C₆ alkyl; and

R⁶ is H, C₁-C₆ alkyl, C₃-C₁₀ cycloalkyl, or (C₃-C₁₀ cycloalkyl) C₁-C₆ alkyl.

64. A method according to Claim 17, wherein

X is N;

R¹ is C₁-C₆ alkyl;

R² is H or C₁-C₆ alkyl;

R³ is C₁-C₆ alkyl, trifluoromethyl, or C₁-C₆alkyl-O C₁-C₆alkyl; and

R⁶ is H, C₁-C₆ alkyl, C₃-C₁₀ cycloalkyl, or (C₃-C₁₀ cycloalkyl) C₁-C₆ alkyl.

65. A method according to Claim 17, wherein;

X is N;

R¹ is C₁-C₆ alkyl;

R² is H or C₁-C₆ alkyl;

R³ is C₁-C₆ alkyl, trifluoromethyl, or C₁-C₆alkyl-O C₁-C₆alkyl;

R⁴ is phenyl, mono, di, or trisubstituted with C₁-C₆ alkyl, C₃-C₁₀ cycloalkyl, C₃-C₁₀ cycloalkenyl, (C₃-C₁₀ cycloalkyl) C₁-C₆ alkyl, C₁-C₆ alkenyl, halogen, C₁-C₆ haloalkyl, trifluoromethylsulfonyl, OR⁷, C₁-C₆ alkyl-OR⁷, NR⁸R⁹, C₁-C₆ alkyl-NR⁸R⁹, CONR⁸R⁹, C₁-C₆ alkyl-CONR⁸R⁹, COOR⁷, C₁-C₆ alkyl-COOR⁷, CN, C₁-C₆ alkyl-CN, SO₂NR⁸R⁹, SO₂R⁷, aryl, heteroaryl, heterocycloalkyl, 3-, 4-, or 5-(2-oxo-1,3-oxazolidinyl), wherein at least one of the positions ortho or para to the point of attachment of the aryl or heteroaryl ring to the pyrazole is substituted,

R⁶ is H, C₁-C₆ alkyl, C₃-C₁₀ cycloalkyl, or (C₃-C₁₀ cycloalkyl) C₁-C₆ alkyl;

and R⁷, R⁸, and R⁹ are as defined in Claim 17.

66. A method according to Claim 17, wherein:

X is N;

R¹ is C₁-C₆ alkyl;

R² is H or C₁-C₆ alkyl;

R³ is C₁-C₆ alkyl, trifluoromethyl, or C₁-C₆alkyl-O C₁-C₆alkyl;

R⁴ is phenyl, mono, di, or trisubstituted with C₁-C₆ alkyl, C₃-C₁₀ cycloalkyl, C₃-C₁₀ cycloalkenyl, (C₃-C₁₀ cycloalkyl) C₁-C₆ alkyl, C₁-C₆ alkenyl, halogen, C₁-C₆ haloalkyl, trifluoromethylsulfonyl, OR⁷, C₁-C₆ alkyl-OR⁷, NR⁸R⁹, C₁-C₆ alkyl-NR⁸R⁹, CONR⁸R⁹, C₁-C₆ alkyl-CONR⁸R⁹, COOR⁷, C₁-C₆ alkyl-COOR⁷, CN, C₁-C₆ alkyl-CN, SO₂NR⁸R⁹, SO₂R⁷, aryl, heteroaryl, heterocycloalkyl, 3-, 4-, or 5-(2-oxo-1,3-oxazolidinyl), wherein at least one of the positions ortho or para to the point of attachment of the aryl or heteroaryl ring to the pyrazole is substituted,

R⁵ is

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C₁-C₆ alkyl, C₃-C₁₀cycloalkyl, (C₃-C₁₀ cycloalkyl) C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, each of which is substituted with 1 to 5 groups independently selected at each occurrence from halo, C₁-C₂ haloalkyl, OR⁷, cyano, NR⁸R⁹, CONR⁸R⁹, COOR⁷, SO₂NR⁸R⁹, SO₂R⁷, NR¹¹COR¹², NR¹¹SO₂R⁷; or

3- or 4-piperidinyl, 3-pyrrolidinyl, 3- or 4- tetrahydropyranyl, 3-tetrahydrofuranyl, 3- or 4- tetrahydropyranyl, 3-tetrahydrofuranyl, 3- or 4-tetrahydrothiopyranyl, 3- or 4-(1,1-dioxo) tetrahydrothiopyranyl, 1-azabicyclo[4.4.0]decyl, 8-azabicyclo[3.2.1]octanyl, norbornyl, quinuclidinyl, indolin-2-one-3-yl, 2-(methoximino)-perhydroazepin-6-yl, each optionally substituted with 1 to 5 substituents independently selected at each occurrence from R⁷, C₁-C₆ alkyl-OR⁷, C₁-C₆ alkyl-NR⁸R⁹, CONR⁸R⁹, CN, COOR⁷, SO₂NR⁸R⁹, and SO₂R⁷;

R⁶ is H, C₁-C₆ alkyl, C₃-C₁₀ cycloalkyl, or (C₃-C₁₀ cycloalkyl) C₁-C₆ alkyl; and

R⁷, R⁸, R⁹, R¹¹, and R¹² are as defined in Claim 1.

67. A method according to Claim 17, wherein;

X is CH,

R¹ is H, C₁-C₆ alkyl, C₃-C₁₀ cycloalkyl, or (C₃-C₁₀ cycloalkyl) C₁-C₆ alkyl; and

R⁶ is H, C₁-C₆ alkyl, C₃-C₁₀ cycloalkyl, or (C₃-C₁₀ cycloalkyl) C₁-C₆ alkyl.

68. A method according to Claim 17, wherein:

X is CH;

R¹ is C₁-C₆ alkyl;

R² is H or C₁-C₆ alkyl;

R³ is C₁-C₆ alkyl, trifluoromethyl, or C₁-C₆alkyl-O C₁-C₆alkyl; and

R⁶ is H, C₁-C₆ alkyl, C₃-C₁₀ cycloalkyl, or (C₃-C₁₀ cycloalkyl) C₁-C₆ alkyl.

69. A compound according to Claim 17, wherein;

X is CH;

R¹ is C₁-C₆ alkyl;

R² is H or C₁-C₆ alkyl;

R³ is C₁-C₆ alkyl, trifluoromethyl, or C₁-C₆alkyl-O C₁-C₆alkyl;

R⁴ is phenyl, mono, di, or trisubstituted with C₁-C₆ alkyl, C₃-C₁₀ cycloalkyl, C₃-C₁₀ cycloalkenyl, (C₃-C₁₀ cycloalkyl) C₁-C₆ alkyl, C₁-C₆ alkenyl, halogen, C₁-C₆ haloalkyl, trifluoromethylsulfonyl, OR⁷, C₁-C₆ alkyl-OR⁷, NR⁸R⁹, C₁-C₆ alkyl-NR⁸R⁹, CONR⁸R⁹, C₁-C₆ alkyl-CONR⁸R⁹, COOR⁷, C₁-C₆ alkyl-COOR⁷, CN, C₁-C₆ alkyl-CN, SO₂NR⁸R⁹, SO₂R⁷, aryl, heteroaryl, heterocycloalkyl, 3-, 4-, or 5-(2-oxo-1,3-oxazolidinyl), wherein at least one of the positions ortho or para to the point of attachment of the aryl or heteroaryl ring to the pyrazole is substituted,

R⁶ is H, C₁-C₆ alkyl, C₃-C₁₀ cycloalkyl, or (C₃-C₁₀ cycloalkyl) C₁-C₆ alkyl; and

R⁷, R⁸, and R⁹ are as defined in Claim 17.

70. A compound according to Claim 17, wherein:

X is CH;

R¹ is C₁-C₆ alkyl;

R² is H or C₁-C₆ alkyl;

R³ is C₁-C₆ alkyl, trifluoromethyl, or C₁-C₆alkyl-O C₁-C₆alkyl;

R⁴ is phenyl, mono, di, or trisubstituted with C₁-C₆ alkyl, C₃-C₁₀ cycloalkyl, C₃-C₁₀ cycloalkenyl, (C₃-C₁₀ cycloalkyl) C₁-C₆ alkyl, C₁-C₆ alkenyl, halogen, C₁-C₆ haloalkyl, trifluoromethylsulfonyl, OR⁷, C₁-C₆ alkyl-OR⁷, NR⁸R⁹, C₁-C₆ alkyl-NR⁸R⁹, CONR⁸R⁹, C₁-C₆ alkyl-CONR⁸R⁹, COOR⁷, C₁-C₆ alkyl-COOR⁷, CN, C₁-C₆ alkyl-CN, SO₂NR⁸R⁹, SO₂R⁷, aryl, heteroaryl, heterocycloalkyl, 3-, 4-, or 5-(2-oxo-1,3-oxazolidinyl), wherein at least one of the positions ortho or para to the point of attachment of the aryl or heteroaryl ring to the pyrazole is substituted,

R⁵ is

C₁-C₆ alkyl, C₃-C₁₀cycloalkyl, (C₃-C₁₀ cycloalkyl) C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, each of which is substituted with 1 to 5 groups independently selected at each

occurrence from halo, C₁-C₂ haloalkyl, OR⁷, cyano, NR⁸R⁹, CONR⁸R⁹, COOR⁷, SO₂NR⁸R⁹, SO₂R⁷, NR¹¹COR¹², NR¹¹SO₂R⁷; or

3- or 4-piperidinyl, 3-pyrrolidinyl, 3- or 4- tetrahydropyranyl, 3-tetrahydrofuranyl, 3- or 4- tetrahydropyranyl, 3-tetrahydrofuranyl, 3- or 4-tetrahydrothiopyranyl, 3- or 4-(1,1-dioxo) tetrahydrothiopyranyl, 1-azabicyclo[4.4.0]decyl, 8-azabicyclo[3.2.1]octanyl, norbornyl, quinuclidinyl, indolin-2-one-3-yl, 2-(methoximino)-perhydroazepin-6-yl, each optionally substituted with 1 to 5 substituents independently selected at each occurrence from R⁷, C₁-C₆ alkyl-OR⁷, C₁-C₆ alkyl-NR⁸R⁹, CONR⁸R⁹, CN, COOR⁷, SO₂NR⁸R⁹, and SO₂R⁷;

β³ R⁶ is H, C₁-C₆ alkyl, C₃-C₁₀ cycloalkyl, or (C₃-C₁₀ cycloalkyl) C₁-C₆ alkyl; and R⁷, R⁸, R⁹, R¹¹, and R¹² are as defined in Claim 17.

71 A method according to claim 52 wherein, wherein

R⁵ is phenyl, naphthyl, 2-,3-, or 4-pyridyl, 2-, 4- or 5-pyrimidinyl, triazinyl, 1-, 2- or 4-imidazolyl, 2-, 4-, or 5-oxazolyl, isoxazolyl, indolyl, pyrazolyl, quinolyl, isoquinolyl, 2-, 4-, or 5-thiazolyl, benzothiadiazolyl, 1-, 3- or 4-pyrazolyl, 1-, 3- or 4-triazolyl, 2-triazinyl, 2-pyrazinyl, 2-, or 3-furanyl, 2-, or 3-thienyl, 2-, or 3-benzothienyl, or 1-, 2- or 5-tetrazolyl each of which is optionally substituted with 1 to 5 substituents independently selected from C₁-C₆ alkyl, C₃-C₁₀ cycloalkyl, C₃-C₁₀ cycloalkenyl, (C₃-C₁₀ cycloalkyl) C₁-C₆ alkyl, C₁-C₆ alkenyl, halogen, C₁-C₆ haloalkyl, trifluoromethylsulfonyl, OR⁷, NR⁸R⁹, C₁-C₆ alkyl-OR⁷, C₁-C₆ alkyl-NR⁸R⁹, CONR⁸R⁹, COOR⁷, CN, SO₂NR⁸R⁹, SO₂R⁷, aryl, heteroaryl, heterocycloalkyl, 3-, 4-, or 5-(2-oxo-1,3-oxazolidinyl), wherein 2 adjacent substituents may be taken together to form a cycloalkyl ring, a C₃-C₁₀ cycloalkenyl ring or a heterocycloalkyl ring.

72. A method according to claim 52 wherein

X is N R¹ is H, C₁-C₆ alkyl, C₃-C₁₀ cycloalkyl, or (C₃-C₁₀ cycloalkyl) C₁-C₆ alkyl; and R⁶ is H, C₁-C₆ alkyl, C₃-C₁₀ cycloalkyl, or (C₃-C₁₀ cycloalkyl) C₁-C₆ alkyl.

73. A method according to Claim 52, wherein

X is N;

R¹ is C₁-C₆ alkyl;

R² is H or C₁-C₆ alkyl;

R³ is C₁-C₆ alkyl, trifluoromethyl, or C₁-C₆alkyl-O C₁-C₆alkyl; and

R⁶ is H, C₁-C₆ alkyl, C₃-C₁₀ cycloalkyl, or (C₃-C₁₀ cycloalkyl) C₁-C₆ alkyl.

74. A method according to Claim 52, wherein;

X is N;

R¹ is C₁-C₆ alkyl;

R² is H or C₁-C₆ alkyl;

R³ is C₁-C₆ alkyl, trifluoromethyl, or C₁-C₆alkyl-O C₁-C₆alkyl;

β^3 R⁴ is phenyl, mono, di, or trisubstituted with C₁-C₆ alkyl, C₃-C₁₀ cycloalkyl, C₃-C₁₀ cycloalkenyl, (C₃-C₁₀ cycloalkyl) C₁-C₆ alkyl, C₁-C₆ alkenyl, halogen, C₁-C₆ haloalkyl, trifluoromethylsulfonyl, OR⁷, C₁-C₆ alkyl-OR⁷, NR⁸R⁹, C₁-C₆ alkyl-NR⁸R⁹, CONR⁸R⁹, C₁-C₆ alkyl-CONR⁸R⁹, COOR⁷, C₁-C₆ alkyl-COOR⁷, CN, C₁-C₆ alkyl-CN, SO₂NR⁸R⁹, SO₂R⁷, aryl, heteroaryl, heterocycloalkyl, 3-, 4-, or 5-(2-oxo-1,3-oxazolidinyl), wherein at least one of the positions ortho or para to the point of attachment of the aryl or heteroaryl ring to the pyrazole is substituted,

R⁶ is H, C₁-C₆ alkyl, C₃-C₁₀ cycloalkyl, or (C₃-C₁₀ cycloalkyl) C₁-C₆ alkyl;

and R⁷, R⁸, and R⁹ are as defined in Claim 52.

75. A method according to Claim 52, wherein:

X is N;

R¹ is C₁-C₆ alkyl;

R² is H or C₁-C₆ alkyl;

R³ is C₁-C₆ alkyl, trifluoromethyl, or C₁-C₆alkyl-O C₁-C₆alkyl;

R⁴ is phenyl, mono, di, or trisubstituted with C₁-C₆ alkyl, C₃-C₁₀ cycloalkyl, C₃-C₁₀ cycloalkenyl, (C₃-C₁₀ cycloalkyl) C₁-C₆ alkyl, C₁-C₆ alkenyl, halogen, C₁-C₆ haloalkyl, trifluoromethylsulfonyl, OR⁷, C₁-C₆ alkyl-OR⁷, NR⁸R⁹, C₁-C₆ alkyl-NR⁸R⁹, CONR⁸R⁹, C₁-C₆ alkyl-CONR⁸R⁹, COOR⁷, C₁-C₆ alkyl-COOR⁷, CN, C₁-C₆ alkyl-CN, SO₂NR⁸R⁹, SO₂R⁷, aryl, heteroaryl, heterocycloalkyl, 3-, 4-, or 5-(2-oxo-1,3-oxazolidinyl), wherein at least one of the positions ortho or para to the point of attachment of the aryl or heteroaryl ring to the pyrazole is substituted,

R⁵ is

C₁-C₆ alkyl, C₃-C₁₀cycloalkyl, (C₃-C₁₀ cycloalkyl) C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, each of which is substituted with 1 to 5 groups independently selected at each occurrence from halo, C₁-C₂ haloalkyl, OR⁷, cyano, NR⁸R⁹, CONR⁸R⁹, COOR⁷, SO₂NR⁸R⁹, SO₂R⁷, NR¹¹COR¹², NR¹¹SO₂R⁷; or

3- or 4-piperidinyl, 3-pyrrolidinyl, 3- or 4- tetrahydropyranyl, 3-tetrahydrofuranyl, 3- or 4- tetrahydropyranyl, 3-tetrahydrofuranyl, 3- or 4-tetrahydrothiopyranyl, 3- or 4-(1,1-dioxo) tetrahydrothiopyranyl, 1-azabicyclo[4.4.0]decyl, 8-azabicyclo[3.2.1]octanyl, norbornyl, quinuclidinyl, indolin-2-one-3-yl, 2-(methoximino)-perhydroazepin-6-yl, each optionally substituted with 1 to 5 substituents independently selected at each occurrence from R⁷, C₁-C₆ alkyl-OR⁷, C₁-C₆ alkyl-NR⁸R⁹, CONR⁸R⁹, CN, COOR⁷ SO₂NR⁸R⁹, and SO₂R⁷;

R⁶ is H, C₁-C₆ alkyl, C₃-C₁₀ cycloalkyl, or (C₃-C₁₀ cycloalkyl) C₁-C₆ alkyl; and R⁷, R⁸, R⁹, R¹¹, and R¹² are as defined in Claim 1.

76. A method according to Claim 52, wherein;

X is CH,

R¹ is H, C₁-C₆ alkyl, C₃-C₁₀ cycloalkyl, or (C₃-C₁₀ cycloalkyl) C₁-C₆ alkyl; and

R⁶ is H, C₁-C₆ alkyl, C₃-C₁₀ cycloalkyl, or (C₃-C₁₀ cycloalkyl) C₁-C₆ alkyl.

77. A method according to Claim 52, wherein:

X is CH;

R¹ is C₁-C₆ alkyl;

R² is H or C₁-C₆ alkyl;

R³ is C₁-C₆ alkyl, trifluoromethyl, or C₁-C₆alkyl-O C₁-C₆alkyl; and

R⁶ is H, C₁-C₆ alkyl, C₃-C₁₀ cycloalkyl, or (C₃-C₁₀ cycloalkyl) C₁-C₆ alkyl.

78. A compound according to Claim 52, wherein;

X is CH;

R¹ is C₁-C₆ alkyl;

R² is H or C₁-C₆ alkyl;

R³ is C₁-C₆ alkyl, trifluoromethyl, or C₁-C₆alkyl-O C₁-C₆alkyl;

R⁴ is phenyl, mono, di, or trisubstituted with C₁-C₆ alkyl, C₃-C₁₀ cycloalkyl, C₃-C₁₀ cycloalkenyl, (C₃-C₁₀ cycloalkyl) C₁-C₆ alkyl, C₁-C₆ alkenyl, halogen, C₁-C₆ haloalkyl, trifluoromethylsulfonyl, OR⁷, C₁-C₆ alkyl-OR⁷, NR⁸R⁹, C₁-C₆ alkyl-NR⁸R⁹, CONR⁸R⁹, C₁-C₆ alkyl-CONR⁸R⁹, COOR⁷, C₁-C₆ alkyl-COOR⁷, CN, C₁-C₆ alkyl-CN, SO₂NR⁸R⁹, SO₂R⁷, aryl, heteroaryl, heterocycloalkyl, 3-, 4-, or 5-(2-oxo-1,3-oxazolidinyl), wherein at least one of the positions ortho or para to the point of attachment of the aryl or heteroaryl ring to the pyrazole is substituted,

R⁶ is H, C₁-C₆ alkyl, C₃-C₁₀ cycloalkyl, or (C₃-C₁₀ cycloalkyl) C₁-C₆ alkyl; and

R⁷, R⁸, and R⁹ are as defined in Claim 52.

79. A compound according to Claim 52, wherein:

X is CH;

R¹ is C₁-C₆ alkyl;

R² is H or C₁-C₆ alkyl;

R³ is C₁-C₆ alkyl, trifluoromethyl, or C₁-C₆alkyl-O C₁-C₆alkyl;

R⁴ is phenyl, mono, di, or trisubstituted with C₁-C₆ alkyl, C₃-C₁₀ cycloalkyl, C₃-C₁₀ cycloalkenyl, (C₃-C₁₀ cycloalkyl) C₁-C₆ alkyl, C₁-C₆ alkenyl, halogen, C₁-C₆ haloalkyl, trifluoromethylsulfonyl, OR⁷, C₁-C₆ alkyl-OR⁷, NR⁸R⁹, C₁-C₆ alkyl-NR⁸R⁹, CONR⁸R⁹, C₁-C₆ alkyl-CONR⁸R⁹, COOR⁷, C₁-C₆ alkyl-COOR⁷, CN, C₁-C₆ alkyl-CN, SO₂NR⁸R⁹, SO₂R⁷, aryl, heteroaryl, heterocycloalkyl, 3-, 4-, or 5-(2-oxo-1,3-oxazolidinyl), wherein at least one of the positions ortho or para to the point of attachment of the aryl or heteroaryl ring to the pyrazole is substituted,

R⁵ is

C₁-C₆ alkyl, C₃-C₁₀cycloalkyl, (C₃-C₁₀ cycloalkyl) C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, each of which is substituted with 1 to 5 groups independently selected at each occurrence from halo, C₁-C₂ haloalkyl, OR⁷, cyano, NR⁸R⁹, CONR⁸R⁹, COOR⁷, SO₂NR⁸R⁹, SO₂R⁷, NR¹¹COR¹², NR¹¹SO₂R⁷; or

3- or 4-piperidinyl, 3-pyrrolidinyl, 3- or 4- tetrahydropyranyl, 3-tetrahydrofuranyl, 3- or 4- tetrahydropyranyl, 3-tetrahydrofuranyl, 3- or 4-tetrahydrothiopyranyl, 3- or 4-(1,1-dioxo) tetrahydrothiopyranyl, 1-azabicyclo[4.4.0]decyl, 8-azabicyclo[3.2.1]octanyl, norbornyl, quinuclidinyl, indolin-2-one-3-yl, 2-(methoximino)-perhydroazepin-6-yl,